

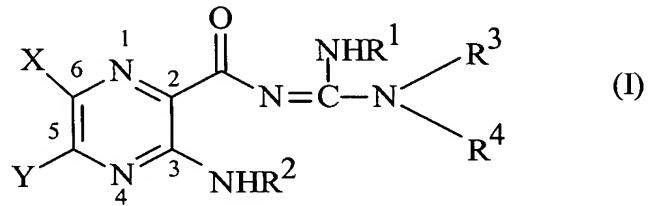
Application No.: NEW APPLICATION

IN THE CLAIMS

The status of each claim is listed below.

Claims 1-81: Canceled.

82. (New) A compound represented by formula (I):



wherein

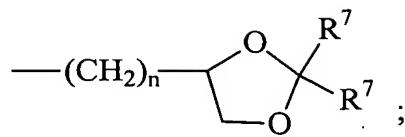
X is hydrogen, halogen, trifluoromethyl, lower alkyl, unsubstituted or substituted phenyl, lower alkyl-thio, phenyl-lower alkyl-thio, lower alkyl-sulfonyl, or phenyl-lower alkyl-sulfonyl;

Y is hydrogen, hydroxyl, mercapto, lower alkoxy, lower alkyl-thio, halogen, lower alkyl, unsubstituted or substituted mononuclear aryl, or -N(R²)₂;

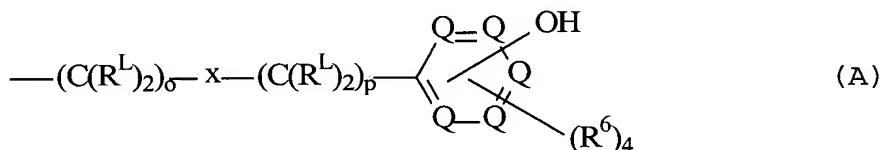
R¹ is hydrogen or lower alkyl;

each R² is, independently, -R⁷, -(CH₂)_m-OR⁸, -(CH₂)_m-NR⁷R¹⁰, -(CH₂)_n(CHOR⁸)(CHOR⁸)_n-CH₂OR⁸, -(CH₂CH₂O)_m-R⁸, -(CH₂CH₂O)_m-CH₂CH₂NR⁷R¹⁰, -(CH₂)_n-C(=O)NR⁷R¹⁰, -(CH₂)_n-Z_g-R⁷, -(CH₂)_m-NR¹⁰-CH₂(CHOR⁸)(CHOR⁸)_n-CH₂OR⁸, -(CH₂)_n-CO₂R⁷, or

Application No.: NEW APPLICATION



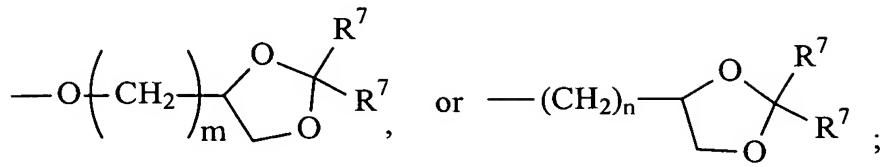
R^3 and R^4 are each, independently, hydrogen, a group represented by formula (A), lower alkyl, hydroxy lower alkyl, phenyl, phenyl-lower alkyl, (halophenyl)-lower alkyl, lower-(alkylphenylalkyl), lower alkoxyphenyl-lower alkyl, naphthyl-lower alkyl, or pyridyl-lower alkyl, with the proviso that at least one of R^3 and R^4 is a group represented by formula (A):



wherein

each R^L is, independently, $-R^7$, $-(CH_2)_n-OR^8$, $-O-(CH_2)_m-OR^8$, $-(CH_2)_n-NR^7R^{10}$, $-O-(CH_2)_m-NR^7R^{10}$, $-(CH_2)_n(CHOR^8)(CHOR^8)_n-CH_2OR^8$, $-O-(CH_2)_m(CHOR^8)(CHOR^8)_n-CH_2OR^8$, $-(CH_2CH_2O)_m-R^8$, $-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$, $-O-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$, $-(CH_2)_n-C(=O)NR^7R^{10}$, $-O-(CH_2)_m-C(=O)NR^7R^{10}$, $-(CH_2)_n-(Z)_g-R^7$, $-O-(CH_2)_m-(Z)_g-R^7$, $-(CH_2)_n-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$, $-O-(CH_2)_m-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$, $-(CH_2)_n-CO_2R^7$, $-O-(CH_2)_m-CO_2R^7$, $-OSO_3H$, $-O$ -glucuronide, $-O$ -glucose, or

Application No.: NEW APPLICATION



each x is, independently, O, NR⁷, C=O, CHO, C=N-R⁶, or represents

a single bond;

each o is, independently, an integer from 0 to 10;

each p is, independently, an integer from 0 to 10;

with the proviso that (a) the sum of o and p in each contiguous chain is

from 1 to 10 when x is O, NR⁷, C=O, or C=N-R⁶ or (b) that the sum of o and p

in each contiguous chain is from 4 to 10 when x represents a single bond;

each R⁶ is, independently, -R⁷, -OH, -OR¹¹, -N(R⁷)₂, -(CH₂)_m-OR⁸,

-O-(CH₂)_m-OR⁸, -(CH₂)_n-NR⁷R¹⁰, -O-(CH₂)_m-NR⁷R¹⁰,

-(CH₂)_n(CHOR⁸)(CHOR⁸)_n-CH₂OR⁸, -O-(CH₂)_m(CHOR⁸)(CHOR⁸)_n-CH₂OR⁸,

-(CH₂CH₂O)_m-R⁸, -O-(CH₂CH₂O)_m-R⁸, -(CH₂CH₂O)_m-CH₂CH₂NR⁷R¹⁰,

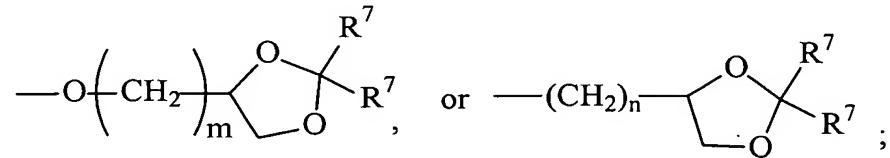
-O-(CH₂CH₂O)_m-CH₂CH₂NR⁷R¹⁰, -(CH₂)_n-C(=O)NR⁷R¹⁰,

-O-(CH₂)_m-C(=O)NR⁷R¹⁰, -(CH₂)_n-(Z)_g-R⁷, -O-(CH₂)_m-(Z)_g-R⁷,

-(CH₂)_n-NR¹⁰-CH₂(CHOR⁸)(CHOR⁸)_n-CH₂OR⁸,

-O-(CH₂)_m-NR¹⁰-CH₂(CHOR⁸)(CHOR⁸)_n-CH₂OR⁸,

-(CH₂)_n-CO₂R⁷, -O-(CH₂)_m-CO₂R⁷, -OSO₃H, -O-glucuronide, -O-glucose,

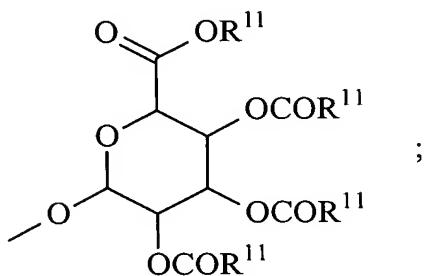


Application No.: NEW APPLICATION

wherein when two R⁶ are -OR¹¹ and are located adjacent to each other on a phenyl ring, the alkyl moieties of the two R⁶ may be bonded together to form a methylenedioxy group;

each R⁷ is, independently, hydrogen or lower alkyl;

each R⁸ is, independently, hydrogen, lower alkyl, -C(=O)-R¹¹, glucuronide, 2-tetrahydropyranyl, or



each R⁹ is, independently, -CO₂R⁷, -CON(R⁷)₂, -SO₂CH₃, or -C(=O)R⁷;

each R¹⁰ is, independently, -H, -SO₂CH₃, -CO₂R⁷, -C(=O)NR⁷R⁹, -C(=O)R⁷, or -CH₂-(CHOH)_n-CH₂OH;

each Z is, independently, CHOH, C(=O), CHNR⁷R¹⁰, C=NR¹⁰, or NR¹⁰;

each R¹¹ is, independently, lower alkyl;

each g is, independently, an integer from 1 to 6;

each m is, independently, an integer from 1 to 7;

each n is, independently, an integer from 0 to 7;

each Q is, independently, C-R⁵, C-R⁶, or a nitrogen atom, wherein two Q in a ring are nitrogen atoms;

or a pharmaceutically acceptable salt thereof, and

Application No.: NEW APPLICATION

inclusive of all enantiomers, diastereomers, and racemic mixtures thereof.

83. (New) The compound of Claim 82, wherein Y is -NH₂.

84. The compound of Claim 83, wherein R² is hydrogen.

85. The compound of Claim 84, wherein R¹ is hydrogen.

86. (New) The compound of Claim 85, wherein X is chlorine.

87. (New) The compound of Claim 86, wherein R³ is hydrogen.

88. (New) The compound of Claim 87, wherein each R^L is hydrogen.

89. (New) The compound of Claim 88, wherein o is 4.

90. (New) The compound of Claim 89, wherein p is 0.

91. (New) The compound of Claim 90, wherein x represents a single bond.

92. (New) The compound of Claim 91, wherein each R⁶ is hydrogen.

93. (New) The compound of Claim 82, wherein

Application No.: NEW APPLICATION

X is halogen;

Y is $-N(R^7)_2$;

R^1 is hydrogen or C_1 - C_3 alkyl; and

R^2 is $-R^7$, $-(CH_2)_m-OR^7$, or $-(CH_2)_n-CO_2R^7$;

R^3 is a group represented by formula (A); and

R^4 is hydrogen, a group represented by formula (A), or lower alkyl.

94. (New) The compound of Claim 93, wherein

X is chloro or bromo;

Y is $-N(R^7)_2$;

R^2 is hydrogen or C_1 - C_3 alkyl;

at most three R^6 are other than hydrogen as defined above; and

at most three R^L are other than hydrogen as defined above.

95. (New) The compound of Claim 94, wherein Y is $-NH_2$.

96. (New) The compound of Claim 95, wherein

R^4 is hydrogen;

at most one R^L is other than hydrogen as defined above; and

at most two R^6 are other than hydrogen as defined above.

97. (New) The compound of Claim 96, wherein x is O, NR^7 , $C=O$, $CHOH$, or $C=N-$

R^6 .

Application No.: NEW APPLICATION

98. (New) The compound of Claim 97, wherein x represents a single bond.

99. (New) The compound of Claim 82, wherein x is O, NR⁷, C=O, CHOH, or C=N-R⁶.

100. (New) The compound of Claim 82, wherein x represents a single bond.

101. (New) The compound of Claim 82, wherein each R⁶ is hydrogen.

102. (New) The compound of Claim 82, wherein at most two R⁶ are other than hydrogen as defined in Claim 82.

103. (New) The compound of Claim 82, wherein one R⁶ is other than hydrogen as defined in Claim 82.

104. (New) The compound of Claim 82, wherein one R⁶ is -OH.

105. (New) The compound of Claim 82, wherein each R^L is hydrogen.

106. (New) The compound of Claim 82, wherein at most two R^L are other than hydrogen as defined in Claim 82.

Application No.: NEW APPLICATION

107. (New) The compound of Claim 82, wherein one R^L is other than hydrogen as defined in Claim 82.

108. (New) The compound of Claim 82, wherein x represents a single bond and the sum of o and p is 4 to 6.

109. (New) The compound of Claim 82, which is in the form of a pharmaceutically acceptable salt.

110. (New) The compound of Claim 82, which is in the form of a hydrochloride salt.

111. (New) The compound of Claim 82, which is in the form of a mesylate salt.

112. (New) A pharmaceutical composition, comprising the compound of Claim 1 and a pharmaceutically acceptable carrier.

113. (New) A composition, comprising:
the compound of Claim 82; and
a P2Y2 inhibitor.

114. (New) A composition, comprising:
the compound of Claim 82; and
a bronchodilator.

Application No.: NEW APPLICATION

115. (New) A method of blocking sodium channels, comprising contacting sodium channels with an effective amount of the compound of Claim 82.

Application No.: NEW APPLICATION

SUPPORT FOR THE AMENDMENTS

The specification has been amended to change the Abstract.

Newly-added Claims 82-115 are supported by the specification at pages 4-52 and by original Claims 1-81.

No new matter is believed to have been added to this application by the amendments submitted above.